

wherein R<sup>1</sup> is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
  - 1) alkoxy of from 1 to 10 carbon atoms;
  - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
  - 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;
  - 5) cycloalkenyl which is defined in E herein;
  - 6) substituted cycloalkenyl which is defined in J herein;
  - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is

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defined in I herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

- 8) acylamino having the formula  $-C(O)NRR$  where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 9) acyloxy selected from alkyl- $C(O)O-$ , substituted alkyl- $C(O)O-$ , cycloalkyl- $C(O)O-$ , aryl- $C(O)O-$ , heteroaryl- $C(O)O-$ , and heterocyclic- $C(O)O-$  wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 10) amino;
- 11) aminoacyl having the formula  $-NRC(O)R$  wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 12) aminoacyloxy having the formula  $-NRC(O)OR$  wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein;

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wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) thiol;
- 20) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- 21) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 22) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
  - a) hydroxy;
  - b) acyl as defined in F7 herein;
  - c) acyloxy as defined in F9 herein;
  - d) alkyl as defined in A herein;
  - e) substituted alkyl as defined in F herein;
  - f) alkoxy as defined in F1 herein;
  - g) substituted alkoxy as defined in F2 herein;
  - h) alkenyl as defined in B herein;
  - i) substituted alkenyl as defined in G herein;
  - j) alkynyl as defined in C herein;
  - k) substituted alkynyl as defined in H herein;
  - l) amino;

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- m) aminoacyl as defined in F11 herein;
  - n) acylamino as defined in F8 herein;
  - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
  - p) aryl as defined in F22 herein;
  - q) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - r) azido;
  - s) carboxyl;
  - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - u) cyano;
  - v) halo selected from fluoro, chloro, bromo and iodo;
  - w) nitro;
  - x) heteroaryl as defined in F23 herein;
  - y) heterocyclic as defined in F24 herein;
  - z) aminoacyloxy as defined in F12 herein;
  - aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
  - bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;

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- cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein;
  - ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F23 herein;
  - ff) -SO-alkyl wherein alkyl is defined in A herein;
  - gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - hh) -SO-aryl wherein aryl is defined in F22 herein;
  - ii) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - jj) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - ll) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - nn) trihalomethyl wherein halo is defined in I20 herein;
  - oo) mono- and dialkylamino wherein alkyl is defined in A herein;
  - pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - qq) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
  - tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and

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- heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 23) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F22 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F23 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
  - n) trihalomethyl wherein halo is defined in I20 herein;

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- 24) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F22 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F23 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
  - n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 25) aryloxy of the formula -O-aryl wherein aryl is defined in F22 herein;
- 26) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F23 herein;
- 27) hydroxyamino;
- 28) alkoxyamino wherein alkoxy is defined in F1 herein;

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- 29) nitro;
  - 30) -SO-alkyl wherein alkyl is defined in A herein;
  - 31) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 32) -SO-aryl wherein aryl is defined in F22 herein;
  - 33) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 34) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 35) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 36) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - 37) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 38) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 39) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 40) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - 41) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - 42) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
  - 43) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein; [,]
- G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
- 1) alkoxy as defined in F1 herein;



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- 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F18 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F20 herein;
  - 16) substituted thioalkoxy as defined in F21 herein;
  - 17) aryl as defined in F22 herein;
  - 18) heteroaryl as defined in F23 herein;
  - 19) heterocyclic as defined in F24 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F22 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;

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- 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- H) substituted alkynyl of from 1 to 3 substituents selected from:
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;

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- 12) carboxyl;
  - 13) carboxylalkyl as defined in F18 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F20 herein;
  - 16) substituted thioalkoxy as defined in F21 herein;
  - 17) aryl as defined in F22 herein;
  - 18) heteroaryl as defined in F23 herein;
  - 19) heterocyclic as defined in F24 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F22 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and

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- 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;
  - 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
  - 15) aryl as defined in F22 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - 17) carboxyl;

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- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F23 herein;
  - 23) thioalkoxy as defined in F20 herein;
  - 24) substituted thioalkoxy as defined in F21 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;
  - 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;

- C<sup>2</sup>
- 15) aryl as defined in F22 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F23 herein;
  - 23) thioalkoxy as defined in F20 herein;
  - 24) substituted thioalkoxy as defined in F21 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F22 herein;
  - L) heteroaryl as defined in F23 herein; and
  - M) heterocyclic as defined in F24 herein;

Z' is represented by the formula -CX'X"-, -T-CH<sub>2</sub>- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- P) alkyl as defined in A herein;
- Q) aryl as defined in F22 herein; or
- R) heteroaryl as defined in F23 herein;

X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

C<sup>2</sup> R<sup>2</sup> is selected from the group consisting of:

- S) alkyl as defined in A herein;
- T) alkenyl as defined in B herein;
- U) alkynyl as defined in C herein;
- V) substituted alkyl as defined in F herein;
- W) substituted alkenyl as defined in G herein;
- X) substituted alkynyl as defined in H herein;
- Y) cycloalkyl as defined in D herein;
- Z) aryl as defined in F22 herein;
- AA) heteroaryl as defined in F23 herein;
- BB) heterocyclic as defined in F24 herein;
- BB<sup>1</sup>) 2-aminopyrid-6-yl;
- BB<sup>2</sup>) 2-methylcyclopentyl;
- BB<sup>3</sup>) cyclohex-2-enyl; and
- BB<sup>4</sup>)  $-(\text{CH}_2)_4\text{NHC}(\text{O})\text{OC}(\text{CH}_3)_3$

W, together with  $-\text{C}(\text{H})_p\text{C}(=\text{X})-$ , forms a:

- CC) cycloalkyl as defined in D herein;
- DD) cycloalkenyl as defined in E herein;
- EE) heterocyclic as defined in F24 herein;
- FF) substituted cycloalkyl as defined in I herein; or
- GG) substituted cycloalkenyl group as defined in J herein;

wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of:

- HH) cycloalkyl as defined in D herein;

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- II) cycloalkenyl as defined in E herein;
  - JJ) heterocyclic as defined in F24 herein;
  - KK) aryl as defined in F22 herein; and
  - LL) heteroaryl as defined in F23 herein;

which, in turn, each of such ring structures is optionally substituted with 1 to 4 substituents selected from the group consisting of:

- MM) hydroxyl;
- NN) halo as defined in F22v herein;
- OO) alkoxy as defined in F1 herein;
- PP) substituted alkoxy as defined in F2 herein;
- QQ) thioalkoxy as defined in F20 herein;
- RR) substituted thioalkoxy as defined in F21 herein;
- SS) nitro;
- TT) cyano;
- UU) carboxyl;
- VV) carboxyl esters;
- WW) alkyl as defined in A herein;
- XX) substituted alkyl as defined in F herein;
- YY) alkenyl as defined in B herein;
- ZZ) substituted alkenyl as defined in G herein;
- AAA) alkynyl as defined in C herein;
- BBB) substituted alkynyl as defined in H herein;
- CCC) amino;
- DDD) N-alkyl amino wherein alkyl is defined in A herein;
- EEE) N,N-dialkyl amino wherein alkyl is defined in A herein;
- FFF) N-substituted alkylamino wherein alkyl is defined in A herein;
- GGG) N-alkyl N-substituted alkylamino wherein alkyl is defined in A herein;



HHH) N,N-disubstituted alkyl amino;

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III) -NHC(O)R<sup>4</sup> where each R<sup>4</sup> is independently selected from the group consisting of:

- 1) alkyl as defined in A herein;
- 2) substituted alkyl as defined in F herein;
- 3) aryl as defined in F22 herein;

JJJ) -NHSO<sub>2</sub>R<sup>4</sup> wherein R<sup>4</sup> is defined in III herein;

KKK) -C(O)NH<sub>2</sub>;

LLL) -C(O)NHR<sup>4</sup> where R<sup>4</sup> is defined in III herein;

MMM) -C(O)NR<sup>4</sup>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

NNN) -S(O)R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

OOO) -S(O)<sub>2</sub>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

PPP) -S(O)<sub>2</sub>NHR<sup>4</sup> where R<sup>4</sup> is defined in III herein; and

QQQ) -S(O)<sub>2</sub>NR<sup>4</sup>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

X is selected from the group consisting of oxo (=O), thiooxo (=S), hydroxyl (-H, -OH), thiol (H, -SH) and hydro (H, H);

*p* is an integer equal to 0 or 1 such that when *p* is zero, the ring defined by W and -C(H)<sub>*p*</sub>C(=X)- is unsaturated at the carbon atom of ring attachment to NH and when *p* is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof;

with the following provisos:

A. when R<sup>1</sup> is 3,5-difluorophenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;

B. when R<sup>1</sup> is phenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, *p* is 1, then W, together with >CH and >C=X, does not form a trans-2-hydroxy-cyclohex-1-yl group;

C<sup>2</sup> C. when R<sup>1</sup> is cyclopropyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then W, together with >CH and >C=X, does not form an N-methylcaprolactam group;

D. when R<sup>1</sup> is 4-chlorobenzoyl-CH<sub>2</sub>-, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

E. when R<sup>1</sup> is 2-phenylphenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then W, together with >CH and >C=X, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

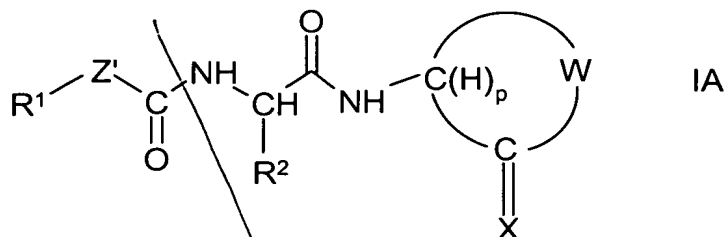
F. when R<sup>1</sup> is CH<sub>3</sub>OC(O)CH<sub>2</sub>-, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-(*t*-butylC(O)CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

G. when R<sup>1</sup> is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, CH<sub>3</sub>OC(O)CH<sub>2</sub>-, 4-HOCH<sub>2</sub>-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH<sub>3</sub>S-, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH<sub>2</sub>CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

H. when R<sup>1</sup> is 2,6-difluorophenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH(OH)-, and *p* is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH<sub>2</sub>CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

I. when the ring defined by W and -C(H)<sub>*p*</sub>C(=X)- forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally-substituted with 1 to 3 alkyl groups.

92. (Amended) A method for preventing the onset of AD in a human patient at risk for developing AD which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or mixture of compounds of formula IA:



wherein R<sup>1</sup> is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
  - 1) alkoxy of from 1 to 10 carbon atoms;
  - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
  - 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;
  - 5) cycloalkenyl which is defined in E herein;
  - 6) substituted cycloalkenyl which is defined in J herein;
  - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is

C<sup>2</sup>  
defined in I herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

- 8) acylamino having the formula  $-C(O)NRR$  where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 10) amino;
- 11) aminoacyl having the formula  $-NRC(O)R$  wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 12) aminoacyloxy having the formula  $-NRC(O)OR$  wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein;

C<sup>2</sup>  
wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) thiol;
- 20) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- 21) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 22) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
  - a) hydroxy;
  - b) acyl as defined in F7 herein;
  - c) acyloxy as defined in F9 herein;
  - d) alkyl as defined in A herein;
  - e) substituted alkyl as defined in F herein;
  - f) alkoxy as defined in F1 herein;
  - g) substituted alkoxy as defined in F2 herein;
  - h) alkenyl as defined in B herein;
  - i) substituted alkenyl as defined in G herein;
  - j) alkynyl as defined in C herein;
  - k) substituted alkynyl as defined in H herein;
  - l) amino;

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- m) aminoacyl as defined in F11 herein;
  - n) acylamino as defined in F8 herein;
  - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
  - p) aryl as defined in F22 herein;
  - q) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - r) azido;
  - s) carboxyl;
  - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - u) cyano;
  - v) halo selected from fluoro, chloro, bromo and iodo;
  - w) nitro;
  - x) heteroaryl as defined in F23 herein;
  - y) heterocyclic as defined in F24 herein;
  - z) aminoacyloxy as defined in F12 herein;
  - aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
  - bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;

- C2
- cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein;
  - ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F23 herein;
  - ff) -SO-alkyl wherein alkyl is defined in A herein;
  - gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - hh) -SO-aryl wherein aryl is defined in F22 herein;
  - ii) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - jj) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - ll) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - nn) trihalomethyl wherein halo is defined in I20 herein;
  - oo) mono- and dialkylamino wherein alkyl is defined in A herein;
  - pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - qq) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
  - tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and

- C<sup>2</sup>
- heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 23) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F22 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F23 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
  - n) trihalomethyl wherein halo is defined in I20 herein;



- C2
- 24) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F22 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F23 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
  - n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 25) aryloxy of the formula -O-aryl wherein aryl is defined in F22 herein;
- 26) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F23 herein;
- 27) hydroxyamino;
- 28) alkoxyamino wherein alkoxy is defined in F1 herein;

- C<sup>2</sup>
- 29) nitro;
  - 30) -SO-alkyl wherein alkyl is defined in A herein;
  - 31) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 32) -SO-aryl wherein aryl is defined in F22 herein;
  - 33) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 34) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 35) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 36) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - 37) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 38) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 39) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 40) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - 41) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - 42) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
  - 43) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein; [.]

G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:

- 1) alkoxy as defined in F1 herein;

- C<sup>2</sup>
- 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F18 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F20 herein;
  - 16) substituted thioalkoxy as defined in F21 herein;
  - 17) aryl as defined in F22 herein;
  - 18) heteroaryl as defined in F23 herein;
  - 19) heterocyclic as defined in F24 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F22 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;

- C<sup>2</sup>
- 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- H) substituted alkynyl of from 1 to 3 substituents selected from:
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;

- C2
- 12) carboxyl;
  - 13) carboxylalkyl as defined in F18 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F20 herein;
  - 16) substituted thioalkoxy as defined in F21 herein;
  - 17) aryl as defined in F22 herein;
  - 18) heteroaryl as defined in F23 herein;
  - 19) heterocyclic as defined in F24 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F22 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and

- C<sup>2</sup>
- 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;
  - 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
  - 15) aryl as defined in F22 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - 17) carboxyl;

- C<sup>2</sup>
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) cyano;
- 20) halo selected from fluoro, chloro, bromo and iodo;
- 21) nitro;
- 22) heteroaryl as defined in F23 herein;
- 23) thioalkoxy as defined in F20 herein;
- 24) substituted thioalkoxy as defined in F21 herein; and
- 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;
  - 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;

- C<sup>2</sup>
- 15) aryl as defined in F22 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F23 herein;
  - 23) thioalkoxy as defined in F20 herein;
  - 24) substituted thioalkoxy as defined in F21 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F22 herein;
  - L) heteroaryl as defined in F23 herein; and
  - M) heterocyclic as defined in F24 herein;

Z' is represented by the formula -CX'X"-, -T-CH<sub>2</sub>- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- P) alkyl as defined in A herein;
- Q) aryl as defined in F22 herein; or
- R) heteroaryl as defined in F23 herein;

X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;



C<sup>2</sup>  
R<sup>2</sup> is selected from the group consisting of:

- S) alkyl as defined in A herein;
- T) alkenyl as defined in B herein;
- U) alkynyl as defined in C herein;
- V) substituted alkyl as defined in F herein;
- W) substituted alkenyl as defined in G herein;
- X) substituted alkynyl as defined in H herein;
- Y) cycloalkyl as defined in D herein;
- Z) aryl as defined in F22 herein;
- AA) heteroaryl as defined in F23 herein;
- BB) heterocyclic as defined in F24 herein;
- BB<sup>1</sup>) 2-aminopyrid-6-yl;
- BB<sup>2</sup>) 2-methylcyclopentyl;
- BB<sup>3</sup>) cyclohex-2-enyl; and
- BB<sup>4</sup>)  $-(CH_2)_4NHC(O)OC(CH_3)_3$

W, together with  $-C(H)_pC(=X)-$ , forms a:

- CC) cycloalkyl as defined in D herein;
- DD) cycloalkenyl as defined in E herein;
- EE) heterocyclic as defined in F24 herein;
- FF) substituted cycloalkyl as defined in I herein; or
- GG) substituted cycloalkenyl group as defined in J herein;

wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of:

- HH) cycloalkyl as defined in D herein;

- C2
- II) cycloalkenyl as defined in E herein;
  - JJ) heterocyclic as defined in F24 herein;
  - KK) aryl as defined in F22 herein; and
  - LL) heteroaryl as defined in F23 herein;

which, in turn, each of such ring structures is optionally substituted with 1 to 4 substituents selected from the group consisting of:

- MM) hydroxyl;
- NN) halo as defined in F22v herein;
- OO) alkoxy as defined in F1 herein;
- PP) substituted alkoxy as defined in F2 herein;
- QQ) thioalkoxy as defined in F20 herein;
- RR) substituted thioalkoxy as defined in F21 herein;
- SS) nitro;
- TT) cyano;
- UU) carboxyl;
- VV) carboxyl esters;
- WW) alkyl as defined in A herein;
- XX) substituted alkyl as defined in F herein;
- YY) alkenyl as defined in B herein;
- ZZ) substituted alkenyl as defined in G herein;
- AAA) alkynyl as defined in C herein;
- BBB) substituted alkynyl as defined in H herein;
- CCC) amino;
- DDD) N-alkyl amino wherein alkyl is defined in A herein;
- EEE) N,N-dialkyl amino wherein alkyl is defined in A herein;
- FFF) N-substituted alkylamino wherein alkyl is defined in A herein;
- GGG) N-alkyl N-substituted alkylamino wherein alkyl is defined in A herein;

C<sup>2</sup>  
HHH) N,N-disubstituted alkyl amino;

III) -NHC(O)R<sup>4</sup> where each R<sup>4</sup> is independently selected from the group consisting of:

- 1) alkyl as defined in A herein;
- 2) substituted alkyl as defined in F herein;
- 3) aryl as defined in F22 herein;

JJJ) -NHSO<sub>2</sub>R<sup>4</sup> wherein R<sup>4</sup> is defined in III herein;

KKK) -C(O)NH<sub>2</sub>;

LLL) -C(O)NHR<sup>4</sup> where R<sup>4</sup> is defined in III herein;

MMM) -C(O)NR<sup>4</sup>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

NNN) -S(O)R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

OOO) -S(O)<sub>2</sub>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

PPP) -S(O)<sub>2</sub>NHR<sup>4</sup> where R<sup>4</sup> is defined in III herein; and

QQQ) -S(O)<sub>2</sub>NR<sup>4</sup>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

X is selected from the group consisting of oxo (=O), thiooxo (=S), hydroxyl (-H, -OH), thiol (H, -SH) and hydro (H, H);

*p* is an integer equal to 0 or 1 such that when *p* is zero, the ring defined by W and -C(H)<sub>*p*</sub>C(=X)- is unsaturated at the carbon atom of ring attachment to NH and when *p* is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof;

with the following provisos:

A. when R<sup>1</sup> is 3,5-difluorophenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;

B. when R<sup>1</sup> is phenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, *p* is 1, then W, together with >CH and >C=X, does not form a trans-2-hydroxy-cyclohex-1-yl group;

C<sup>2</sup> C. when R<sup>1</sup> is cyclopropyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then W, together with >CH and >C=X, does not form an N-methylcaprolactam group;

D. when R<sup>1</sup> is 4-chlorobenzoyl-CH<sub>2</sub>-, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

E. when R<sup>1</sup> is 2-phenylphenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then W, together with >CH and >C=X, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

F. when R<sup>1</sup> is CH<sub>3</sub>OC(O)CH<sub>2</sub>-, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then W, together with >CH and >C=X, does not form an 2,3-dihydro-1-(*t*-butylC(O)CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

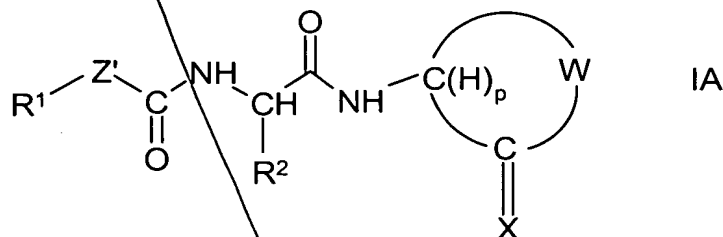
G. when R<sup>1</sup> is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, CH<sub>3</sub>OC(O)CH<sub>2</sub>-, 4-HOCH<sub>2</sub>-phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH<sub>3</sub>S-, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH<sub>2</sub>-, and *p* is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH<sub>2</sub>CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

H. when R<sup>1</sup> is 2,6-difluorophenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH(OH)-, and *p* is 1, then W, together with >CH and >C=X, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH<sub>2</sub>CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

I. when the ring defined by W and -C(H)<sub>*p*</sub>C(=X)- forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

93. (Amended) A method for treating a human patient with AD in order to inhibit further deterioration in the condition of that patient which method comprises administering

to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IA:



wherein R<sup>1</sup> is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
  - 1) alkoxy of from 1 to 10 carbon atoms;
  - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
  - 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;
  - 5) cycloalkenyl which is defined in E herein;
  - 6) substituted cycloalkenyl which is defined in J herein;
  - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-,

- C2
- cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 8) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 10) amino;
- 11) aminoacyl having the formula -NRC(O)R wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

- C2
- 12) aminoacyloxy having the formula  $\text{-NRC(O)OR}$  wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 18) carboxylalkyl having the formula  $\text{-C(O)Oalkyl}$  wherein alkyl is defined in A herein;
- 19) thiol;
- 20) thioalkoxy having the formula  $\text{-S-alkyl}$ , wherein alkyl is defined in A herein;
- 21) substituted thioalkoxy having the formula  $\text{-S-substituted alkyl}$ , wherein substituted alkyl is defined in F herein;
- 22) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
- a) hydroxy;
  - b) acyl as defined in F7 herein;
  - c) acyloxy as defined in F9 herein;
  - d) alkyl as defined in A herein;
  - e) substituted alkyl as defined in F herein;
  - f) alkoxy as defined in F1 herein;
  - g) substituted alkoxy as defined in F2 herein;
  - h) alkenyl as defined in B herein;

- CR2
- i) substituted alkenyl as defined in G herein;
  - j) alkynyl as defined in C herein;
  - k) substituted alkynyl as defined in H herein;
  - l) amino;
  - m) aminoacyl as defined in F11 herein;
  - n) acylamino as defined in F8 herein;
  - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
  - p) aryl as defined in F22 herein;
  - q) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - r) azido;
  - s) carboxyl;
  - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - u) cyano;
  - v) halo selected from fluoro, chloro, bromo and iodo;
  - w) nitro;
  - x) heteroaryl as defined in F23 herein;
  - y) heterocyclic as defined in F24 herein;
  - z) aminoacyloxy as defined in F12 herein;
  - aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is



- C<sup>2</sup>
- defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein;
- ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F23 herein;
- ff) -SO-alkyl wherein alkyl is defined in A herein;
- gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- hh) -SO-aryl wherein aryl is defined in F22 herein;
- ii) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
- jj) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- ll) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
- mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
- nn) trihalomethyl wherein halo is defined in F20 herein;
- oo) mono- and dialkylamino wherein alkyl is defined in A herein;
- pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- qq) mono- and di-arylamino wherein aryl is defined in F22 herein;
- rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;

- C-2
- ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
- tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 23) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F22 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F23 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;

- 02
- m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
  - n) trihalomethyl wherein halo is defined in I20 herein;
- 24) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F22 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F23 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
  - n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 25) aryloxy of the formula -O-aryl wherein aryl is defined in F22 herein;

- CS
- 26) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F23 herein;
- 27) hydroxyamino;
- 28) alkoxyamino wherein alkoxy is defined in F1 herein;
- 29) nitro;
- 30) -SO-alkyl wherein alkyl is defined in A herein;
- 31) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 32) -SO-aryl wherein aryl is defined in F22 herein;
- 33) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
- 34) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 35) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 36) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
- 37) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
- 38) mono- and dialkylamino wherein alkyl is defined in A herein;
- 39) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 40) mono- and di-arylamino wherein aryl is defined in F22 herein;
- 41) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
- 42) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
- 43) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein;

wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein; [.]

- C2
- G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F18 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F20 herein;
  - 16) substituted thioalkoxy as defined in F21 herein;
  - 17) aryl as defined in F22 herein;
  - 18) heteroaryl as defined in F23 herein;
  - 19) heterocyclic as defined in F24 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F22 herein;

- C2
- 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- H) substituted alkynyl of from 1 to 3 substituents selected from:
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;

- ca
- 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F18 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F20 herein;
  - 16) substituted thioalkoxy as defined in F21 herein;
  - 17) aryl as defined in F22 herein;
  - 18) heteroaryl as defined in F23 herein;
  - 19) heterocyclic as defined in F24 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F22 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;

- C<sup>2</sup>
- 32) ~~mono- and di-heteroaryl-amino wherein heteroaryl is defined in F23 herein;~~
- 33) ~~mono- and di-heterocyclic-amino wherein heterocyclic is defined in F24 herein; and~~
- 34) ~~unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;~~
- I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;
  - 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;



- C2
- 15) aryl as defined in F22 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F23 herein;
  - 23) thioalkoxy as defined in F20 herein;
  - 24) substituted thioalkoxy as defined in F21 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;

- C<sup>2</sup>
- 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
  - 15) aryl as defined in F22 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F23 herein;
  - 23) thioalkoxy as defined in F20 herein;
  - 24) substituted thioalkoxy as defined in F21 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F22 herein;
- L) heteroaryl as defined in F23 herein; and
- M) heterocyclic as defined in F24 herein;

Z' is represented by the formula -CX'X"-, -T-CH<sub>2</sub>- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- P) alkyl as defined in A herein;

Q) aryl as defined in F22 herein; or

C2 R) heteroaryl as defined in F23 herein;

X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

R<sup>2</sup> is selected from the group consisting of:

S) alkyl as defined in A herein;

T) alkenyl as defined in B herein;

U) alkynyl as defined in C herein;

V) substituted alkyl as defined in F herein;

W) substituted alkenyl as defined in G herein;

X) substituted alkynyl as defined in H herein;

Y) cycloalkyl as defined in D herein;

Z) aryl as defined in F22 herein;

AA) heteroaryl as defined in F23 herein;

BB) heterocyclic as defined in F24 herein;

BB<sup>1</sup>) 2-aminopyrid-6-yl;

BB<sup>2</sup>) 2-methylcyclopentyl;

BB<sup>3</sup>) cyclohex-2-enyl; and

BB<sup>4</sup>)  $-(CH_2)_4NHC(O)OC(CH_3)_3$

W, together with  $-C(H)_pC(=X)-$ , forms a:

CC) cycloalkyl as defined in D herein;

DD) cycloalkenyl as defined in E herein;

EE) heterocyclic as defined in F24 herein;

FF) substituted cycloalkyl as defined in I herein; or

GG) substituted cycloalkenyl group as defined in J herein;

C<sup>2</sup> wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of:

- HH) cycloalkyl as defined in D herein;
- II) cycloalkenyl as defined in E herein;
- JJ) heterocyclic as defined in F24 herein;
- KK) aryl as defined in F22 herein; and
- LL) heteroaryl as defined in F23 herein;

which, in turn, each of such ring structures is optionally substituted with 1 to 4 substituents selected from the group consisting of:

- MM) hydroxyl;
- NN) halo as defined in F22v herein;
- OO) alkoxy as defined in F1 herein;
- PP) substituted alkoxy as defined in F2 herein;
- QQ) thioalkoxy as defined in F20 herein;
- RR) substituted thioalkoxy as defined in F21 herein;
- SS) nitro;
- TT) cyano;
- UU) carboxyl;
- VV) carboxyl esters;
- WW) alkyl as defined in A herein;
- XX) substituted alkyl as defined in F herein;
- YY) alkenyl as defined in B herein;
- ZZ) substituted alkenyl as defined in G herein;
- AAA) alkynyl as defined in C herein;
- BBB) substituted alkynyl as defined in H herein;

- C<sup>2</sup>
- CCC) amino;  
DDD) N-alkyl amino wherein alkyl is defined in A herein;  
EEE) N,N-dialkyl amino wherein alkyl is defined in A herein;  
FFF) N-substituted alkylamino wherein alkyl is defined in A herein;  
GGG) N-alkyl N-substituted alkylamino wherein alkyl is defined in A herein;  
HHH) N,N-disubstituted alkyl amino;  
III) -NHC(O)R<sup>4</sup> where each R<sup>4</sup> is independently selected from the group consisting of:  
1) alkyl as defined in A herein;  
2) substituted alkyl as defined in F herein;  
3) aryl as defined in F22 herein;  
JJJ) -NHSO<sub>2</sub>R<sup>4</sup> wherein R<sup>4</sup> is defined in III herein;  
KKK) -C(O)NH<sub>2</sub>;  
LLL) -C(O)NHR<sup>4</sup> where R<sup>4</sup> is defined in III herein;  
MMM) -C(O)NR<sup>4</sup>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;  
NNN) -S(O)R<sup>4</sup> where R<sup>4</sup> is defined in III herein;  
OOO) -S(O)<sub>2</sub>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;  
PPP) -S(O)<sub>2</sub>NHR<sup>4</sup> where R<sup>4</sup> is defined in III herein; and  
QQQ) -S(O)<sub>2</sub>NR<sup>4</sup>R<sup>4</sup> where R<sup>4</sup> is defined in III herein;

X is selected from the group consisting of oxo (=O), thiooxo (=S), hydroxyl (-H, -OH), thiol (H, -SH) and hydro (H, H);

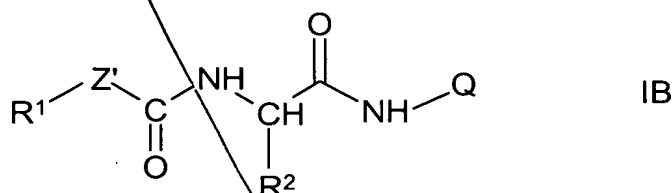
$p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by W and -C(H) <sub>$p$</sub> C(=X)- is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof;

with the following provisos:

- C2
- A. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a 2-(S)-indanol group;
- B. when  $R^1$  is phenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ ,  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a trans-2-hydroxy-cyclohex-1-yl group;
- C. when  $R^1$  is cyclopropyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an N-methylcaprolactam group;
- D. when  $R^1$  is 4-chlorobenzoyl- $\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;
- E. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;
- F. when  $R^1$  is  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form an 2,3-dihydro-1-(*t*-butyl $\text{C}(\text{O})\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- G. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ , 4- $\text{HOCH}_2$ -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or  $\text{CH}_3\text{S}-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a 2,3-dihydro-1-(N,N-diethylamino- $\text{CH}_2\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- H. when  $R^1$  is 2,6-difluorophenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}(\text{OH})-$ , and  $p$  is 1, then W, together with  $>\text{CH}$  and  $>\text{C}=\text{X}$ , does not form a 2,3-dihydro-1-(N,N-diethylamino- $\text{CH}_2\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- I. when the ring defined by W and  $-\text{C}(\text{H})_p\text{C}(=\text{X})-$  forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

3  
95. (Amended) A method for inhibiting  $\beta$ -amyloid peptide release and/or its synthesis in a cell which method comprises administering to such a cell an amount of a compound or a mixture of compounds effective in inhibiting the cellular release and/or synthesis of  $\beta$ -amyloid peptide wherein said compounds are represented by formula IB:



wherein  $\text{R}^1$  is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
  - 1) alkoxy of from 1 to 10 carbon atoms;
  - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
  - 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;
  - 5) cycloalkenyl which is defined in E herein;

- C-3
- 6) substituted cycloalkenyl which is defined in J herein;
- 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 8) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 10) amino;
- 11) aminoacyl having the formula -NRC(O)R wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein;



- C<sup>3</sup>
- wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 12) aminoacyloxy having the formula -NRC(O)OR wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) thiol;
- 20) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- 21) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 22) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
- a) hydroxy;
  - b) acyl as defined in F7 herein;
  - c) acyloxy as defined in F9 herein;
  - d) alkyl as defined in A herein;
  - e) substituted alkyl as defined in F herein;
  - f) alkoxy as defined in F1 herein;

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- g) substituted alkoxy as defined in F2 herein;
  - h) alkenyl as defined in B herein;
  - i) substituted alkenyl as defined in G herein;
  - j) alkynyl as defined in C herein;
  - k) substituted alkynyl as defined in H herein;
  - l) amino;
  - m) aminoacyl as defined in F11 herein;
  - n) acylamino as defined in F8 herein;
  - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
  - p) aryl as defined in F22 herein;
  - q) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - r) azido;
  - s) carboxyl;
  - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - u) cyano;
  - v) halo selected from fluoro, chloro, bromo and iodo;
  - w) nitro;
  - x) heteroaryl as defined in F23 herein;
  - y) heterocyclic as defined in F24 herein;
  - z) aminoacyloxy as defined in F12 herein;
  - aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein;

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- wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein;
- ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F23 herein;
- ff) -SO-alkyl wherein alkyl is defined in A herein;
- gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- hh) -SO-aryl wherein aryl is defined in F22 herein;
- ii) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
- jj) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- ll) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
- mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
- nn) trihalomethyl wherein halo is defined in F20 herein;
- oo) mono- and dialkylamino wherein alkyl is defined in A herein;
- pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- qq) mono- and di-arylamino wherein aryl is defined in F22 herein;

- C3
- rr) mono- and di-heteroaryl-amino wherein heteroaryl is defined in F23 herein;
- ss) mono- and di-heterocyclic-amino wherein heterocyclic is defined in F24 herein;
- tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 23) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F22 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F23 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;

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- l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
- n) trihalomethyl wherein halo is defined in I20 herein;
- 24) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
- b) substituted alkyl as defined in F herein;
- c) alkoxy as defined in F1 herein;
- d) substituted alkoxy as defined in F2 herein;
- e) aryl as defined in F22 herein;
- f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
- g) halo selected from fluoro, chloro, bromo and iodo;
- h) nitro;
- i) heteroaryl as defined in F23 herein;
- j) thiol;
- k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and

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- n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 25) aryloxy of the formula -O-aryl wherein aryl is defined in F22 herein;
- 26) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F23 herein;
- 27) hydroxyamino;
- 28) alkoxyamino wherein alkoxy is defined in F1 herein;
- 29) nitro;
- 30) -SO-alkyl wherein alkyl is defined in A herein;
- 31) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 32) -SO-aryl wherein aryl is defined in F22 herein;
- 33) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
- 34) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 35) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 36) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
- 37) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
- 38) mono- and dialkylamino wherein alkyl is defined in A herein;
- 39) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 40) mono- and di-arylamino wherein aryl is defined in F22 herein;
- 41) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
- 42) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
- 43) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and

23 heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:

- 1) alkoxy as defined in F1 herein;
- 2) substituted alkoxy as defined in F2 herein;
- 3) acyl as defined in F7 herein;
- 4) acylamino as defined in F8 herein;
- 5) acyloxy as defined in F9 herein;
- 6) amino;
- 7) aminoacyl as defined in F11 herein;
- 8) aminoacyloxy as defined in F12 herein;
- 9) cyano;
- 10) halogen selected from fluoro, chloro, bromo and iodo;
- 11) hydroxyl;
- 12) carboxyl;
- 13) carboxylalkyl as defined in F18 herein;
- 14) thiol;
- 15) thioalkoxy as defined in F20 herein;
- 16) substituted thioalkoxy as defined in F21 herein;
- 17) aryl as defined in F22 herein;
- 18) heteroaryl as defined in F23 herein;
- 19) heterocyclic as defined in F24 herein;
- 20) nitro;
- 21) -SO-alkyl wherein alkyl is defined in A herein;

- 3
- 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F22 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- H) substituted alkynyl of from 1 to 3 substituents selected from:
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;



- 5) acyloxy as defined in F9 herein;
- 6) amino;
- 7) aminoacyl as defined in F11 herein;
- 8) aminoacyloxy as defined in F12 herein;
- 9) cyano;
- 10) halogen selected from fluoro, chloro, bromo and iodo;
- 11) hydroxyl;
- 12) carboxyl;
- 13) carboxylalkyl as defined in F18 herein;
- 14) thiol;
- 15) thioalkoxy as defined in F20 herein;
- 16) substituted thioalkoxy as defined in F21 herein;
- 17) aryl as defined in F22 herein;
- 18) heteroaryl as defined in F23 herein;
- 19) heterocyclic as defined in F24 herein;
- 20) nitro;
- 21) -SO-alkyl wherein alkyl is defined in A herein;
- 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 23) -SO-aryl wherein aryl is defined in F22 herein;
- 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
- 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
- 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;

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- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
- 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
- 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
- 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;
  - 12) amino;

C<sup>3</sup>

- 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
  - 15) aryl as defined in F22 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F23 herein;
  - 23) thioalkoxy as defined in F20 herein;
  - 24) substituted thioalkoxy as defined in F21 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;

- C<sup>3</sup>
- 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;
  - 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
  - 15) aryl as defined in F22 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F23 herein;
  - 23) thioalkoxy as defined in F20 herein;
  - 24) substituted thioalkoxy as defined in F21 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F22 herein;
- L) heteroaryl as defined in F23 herein; and
- M) heterocyclic as defined in F24 herein;

Z' is represented by the formula -CX'X"-, -T-CH<sub>2</sub>- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is:

- C.3
- N) hydrogen;
  - O) acyl as defined in F7 herein;
  - P) alkyl as defined in A herein;
  - Q) aryl as defined in F22 herein; or
  - R) heteroaryl as defined in F23 herein;

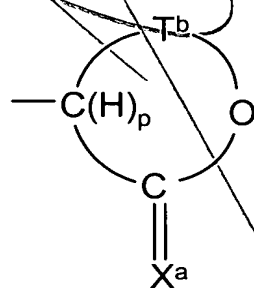
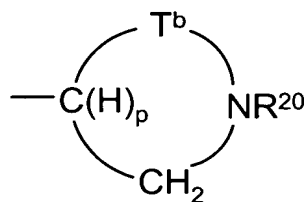
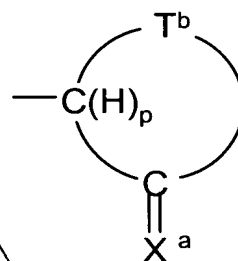
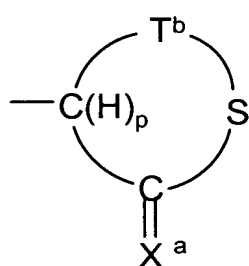
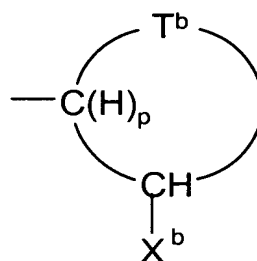
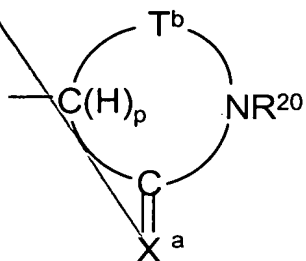
X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

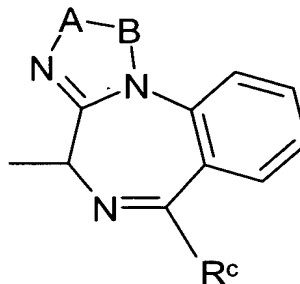
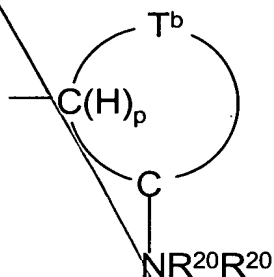
R<sup>2</sup> is selected from the group consisting of:

- S) alkyl as defined in A herein;
- T) substituted alkyl as defined in F herein;
- U) alkenyl as defined in B herein;
- V) substituted alkenyl as defined in G herein;
- W) alkynyl as defined in C herein;
- X) substituted alkynyl as defined in H herein;
- Y) cycloalkyl as defined in D herein;
- Z) aryl as defined in F22 herein;
- AA) heteroaryl as defined in F23 herein;
- BB) heterocyclic as defined in F24 herein;
- BB<sup>1</sup>) 2-aminopyrid-6-yl;
- BB<sup>2</sup>) 2-methylcyclopentyl;
- BB<sup>3</sup>) cyclohex-2-enyl; and
- BB<sup>4</sup>)  $-(CH_2)_4NHC(O)OC(CH_3)_3$ ;

Q is selected from the group of monocyclic and fused polycyclic groups having the formulas:

C<sup>3</sup>





wherein  $\text{T}^b$  is selected from the group consisting of:

- CC) alkylene where alkylene is a divalent alkyl and alkyl is defined in A herein;
- DD) substituted alkylene where substituted alkylene is a divalent substituted alkyl and substituted alkyl is defined in F herein;
- EE) alkenylene where alkenylene is a divalent alkenyl and alkenyl is defined in B herein;
- FF) substituted alkenylene where substituted alkenylene is a divalent substituted alkenyl and substituted alkenyl is defined in G herein;
- GG)  $-(\text{R}^{21}\text{Z}^a)_q\text{R}^{21}-$  and  $-\text{Z}^a\text{R}^{21}-$  where  $\text{Z}^a$  is a substituent selected from the group consisting of:
  - 1)  $-\text{O}-$ ;
  - 2)  $-\text{S}-$ ; and
  - 3)  $>\text{NR}^{20}$ , each  $\text{R}^{20}$  is independently selected from the group consisting of:
    - a) alkyl as defined in A herein;
    - b) alkenyl as defined in B herein;
    - c) alkynyl as defined in C herein;
    - d) cycloalkyl as defined D herein;
    - e) cycloalkenyl as defined in E herein;
    - f) substituted alkyl as defined in F herein;

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- g) substituted alkenyl as defined in G herein;
  - h) substituted alkynyl as defined in H herein;
  - i) aryl as defined in F22 herein;
  - j) heteroaryl as defined in F23 herein; and
  - k) heterocyclic as defined in F24 herein;

wherein each  $R^{21}$  is independently selected from the group consisting of:

- 4) alkylene as defined in CC herein;
- 5) substituted alkylene as defined in DD herein;
- 6) alkenylene as defined in EE herein; and
- 7) substituted alkenylene as defined in FF herein;

with the proviso that when  $Z^a$  is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-,  $q$  is an integer of from 1 to 3;

$X^a$  is oxo or thioxo;  $X^b$  is -OH or -SH;

A-B is selected from a group of:

- HH) alkylene as defined in CC herein;
- II) alkenylene as defined in DD herein;
- JJ) substituted alkylene as defined in EE herein;
- KK) substituted alkenylene as defined in FF herein; and
- LL) -N=CH-;

$R^c$  is selected from the group consisting of:

- MM) alkyl as defined in A herein;
- NN) substituted alkyl as defined in F herein;
- OO) alkenyl as defined in B herein;
- PP) substituted alkenyl as defined in G herein;
- QQ) aryl as defined in F22 herein;



- 3
- RR) heteroaryl as defined in F23 herein;  
SS) heterocyclic as defined in F34 herein;  
TT) cycloalkyl as defined in D herein; and  
UU) substituted cycloalkyl as defined in I herein;

$p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof;

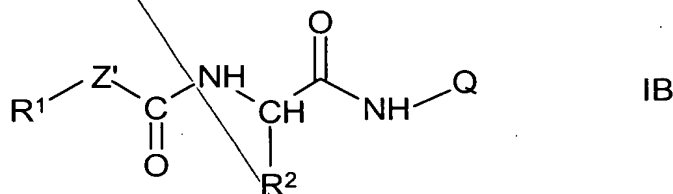
with the following provisos:

- A. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a 2-(S)-indanol group;
- B. when  $R^1$  is phenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a trans-2-hydroxy-cyclohex-1-yl group;
- C. when  $R^1$  is cyclopropyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an N-methylcaprolactam group;
- D. when  $R^1$  is 4-chlorobenzoyl- $\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;
- E. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;
- F. when  $R^1$  is  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 2,3-dihydro-1-(*t*-butyl $\text{C}(\text{O})\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- G. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ , 4- $\text{HOCH}_2$ -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or  $\text{CH}_3\text{S}-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino- $\text{CH}_2\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

C3 H. when R<sup>1</sup> is 2,6-difluorophenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH(OH)-, and *p* is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH<sub>2</sub>CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

I. when the ring defined by Q forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

96. (Amended) A method for preventing the onset of AD in a human patient at risk for developing AD which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IB:



wherein R<sup>1</sup> is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
  - 1) alkoxy of from 1 to 10 carbon atoms;
  - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;

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- 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;
  - 5) cycloalkenyl which is defined in E herein;
  - 6) substituted cycloalkenyl which is defined in J herein;
  - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
  - 8) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
  - 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
  - 10) amino;

- C<sup>3</sup>
- 11) aminoacyl having the formula -NRC(O)R wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 12) aminoacyloxy having the formula -NRC(O)OR wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) thiol;
- 20) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- 21) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 22) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
- hydroxy;
  - acyl as defined in F7 herein;

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- c) acyloxy as defined in F9 herein;
  - d) alkyl as defined in A herein;
  - e) substituted alkyl as defined in F herein;
  - f) alkoxy as defined in F1 herein;
  - g) substituted alkoxy as defined in F2 herein;
  - h) alkenyl as defined in B herein;
  - i) substituted alkenyl as defined in G herein;
  - j) alkynyl as defined in C herein;
  - k) substituted alkynyl as defined in H herein;
  - l) amino;
  - m) aminoacyl as defined in F11 herein;
  - n) acylamino as defined in F8 herein;
  - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
  - p) aryl as defined in F22 herein;
  - q) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - r) azido;
  - s) carboxyl;
  - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - u) cyano;
  - v) halo selected from fluoro, chloro, bromo and iodo;
  - w) nitro;
  - x) heteroaryl as defined in F23 herein;
  - y) heterocyclic as defined in F24 herein;
  - z) aminoacyloxy as defined in F12 herein;

- C<sup>3</sup>
- aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
  - bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein;
  - ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F23 herein;
  - ff) -SO-alkyl wherein alkyl is defined in A herein;
  - gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - hh) -SO-aryl wherein aryl is defined in F22 herein;
  - ii) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - jj) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - ll) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - nn) trihalomethyl wherein halo is defined in I20 herein;
  - oo) mono- and dialkylamino wherein alkyl is defined in A herein;

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- pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - qq) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
  - tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 23) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F22 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F23 herein;
  - j) thiol;

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- k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
  - n) trihalomethyl wherein halo is defined in I20 herein;
- 24) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F22 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F23 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;



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- m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
- n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 25) aryloxy of the formula -O-aryl wherein aryl is defined in F22 herein;
- 26) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F23 herein;
- 27) hydroxyamino;
- 28) alkoxyamino wherein alkoxy is defined in F1 herein;
- 29) nitro;
- 30) -SO-alkyl wherein alkyl is defined in A herein;
- 31) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 32) -SO-aryl wherein aryl is defined in F22 herein;
- 33) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
- 34) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- 35) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- 36) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
- 37) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
- 38) mono- and dialkylamino wherein alkyl is defined in A herein;
- 39) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 40) mono- and di-arylamino wherein aryl is defined in F22 herein;
- 41) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
- 42) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;

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- 43) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F18 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F20 herein;
  - 16) substituted thioalkoxy as defined in F21 herein;
  - 17) aryl as defined in F22 herein;
  - 18) heteroaryl as defined in F23 herein;
  - 19) heterocyclic as defined in F24 herein;

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- 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F22 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- H) substituted alkynyl of from 1 to 3 substituents selected from:
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;

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- 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F18 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F20 herein;
  - 16) substituted thioalkoxy as defined in F21 herein;
  - 17) aryl as defined in F22 herein;
  - 18) heteroaryl as defined in F23 herein;
  - 19) heterocyclic as defined in F24 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F22 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;

- C-3
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;

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- 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
  - 15) aryl as defined in F22 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F23 herein;
  - 23) thioalkoxy as defined in F20 herein;
  - 24) substituted thioalkoxy as defined in F21 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;

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- 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;
  - 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
  - 15) aryl as defined in F22 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F23 herein;
  - 23) thioalkoxy as defined in F20 herein;
  - 24) substituted thioalkoxy as defined in F21 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F22 herein;
- L) heteroaryl as defined in F23 herein; and
- M) heterocyclic as defined in F24 herein;

Z' is represented by the formula -CX'X"-, -T-CH<sub>2</sub>- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is:

- C<sup>3</sup>
- N) hydrogen;
  - O) acyl as defined in F7 herein;
  - P) alkyl as defined in A herein;
  - Q) aryl as defined in F22 herein; or
  - R) heteroaryl as defined in F23 herein;

X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

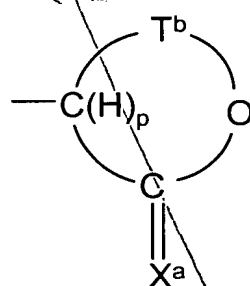
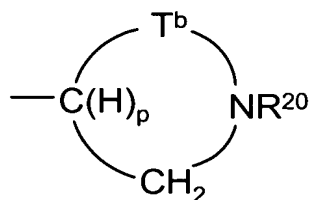
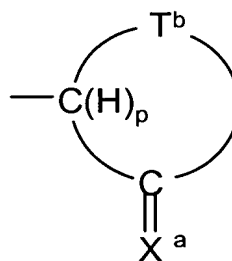
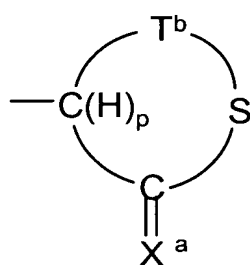
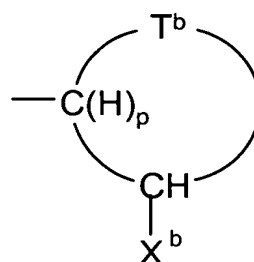
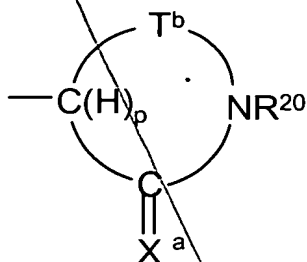
R<sup>2</sup> is selected from the group consisting of:

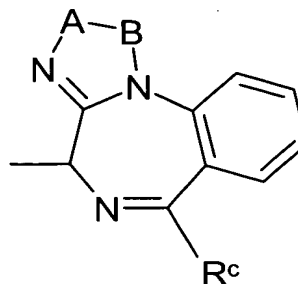
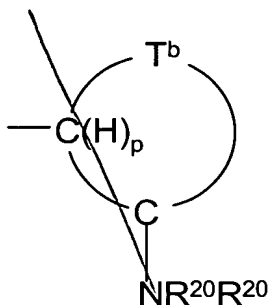
- S) alkyl as defined in A herein;
- T) substituted alkyl as defined in F herein;
- U) alkenyl as defined in B herein;
- V) substituted alkenyl as defined in G herein;
- W) alkynyl as defined in C herein;
- X) substituted alkynyl as defined in H herein;
- Y) cycloalkyl as defined in D herein;
- Z) aryl as defined in F22 herein;
- AA) heteroaryl as defined in F23 herein;
- BB) heterocyclic as defined in F24 herein;
- BB<sup>1</sup>) 2-aminopyrid-6-yl;
- BB<sup>2</sup>) 2-methylcyclopentyl;
- BB<sup>3</sup>) cyclohex-2-enyl; and
- BB<sup>4</sup>) -(CH<sub>2</sub>)<sub>4</sub>NHC(O)OC(CH<sub>3</sub>)<sub>3</sub>;



Q is selected from the group of monocyclic and fused polycyclic groups having the formulas:

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wherein  $T^b$  is selected from the group consisting of:

- CC) alkylene where alkylene is a divalent alkyl and alkyl is defined in A herein;
- DD) substituted alkylene where substituted alkylene is a divalent substituted alkyl and substituted alkyl is defined in F herein;
- EE) alkenylene where alkenylene is a divalent alkenyl and alkenyl is defined in B herein;
- FF) substituted alkenylene where substituted alkenylene is a divalent substituted alkenyl and substituted alkenyl is defined in G herein;
- GG)  $-(R^{21}Z^a)_qR^{21}-$  and  $-Z^aR^{21}-$  where  $Z^a$  is a substituent selected from the group consisting of:
  - 1)  $-O-$ ;
  - 2)  $-S-$ ; and
  - 3)  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of:
    - a) alkyl as defined in A herein;
    - b) alkenyl as defined in B herein;
    - c) alkynyl as defined in C herein;
    - d) cycloalkyl as defined D herein;
    - e) cycloalkenyl as defined in E herein;
    - f) substituted alkyl as defined in F herein;
    - g) substituted alkenyl as defined in G herein;

- h) substituted alkynyl as defined in H herein;  
i) aryl as defined in F22 herein;  
j) heteroaryl as defined in F23 herein; and  
k) heterocyclic as defined in F24 herein;

wherein each R<sup>21</sup> is independently selected from the group consisting of:

- 4) alkylene as defined in CC herein;  
5) substituted alkylene as defined in DD herein;  
6) alkenylene as defined in EE herein; and  
7) substituted alkenylene as defined in FF herein;

with the proviso that when Z<sup>a</sup> is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, *q* is an integer of from 1 to 3;

X<sup>a</sup> is oxo or thioxo; X<sup>b</sup> is -OH or -SH;

A-B is selected from a group of:

- HH) alkylene as defined in CC herein;  
II) alkenylene as defined in DD herein;  
JJ) substituted alkylene as defined in EE herein;  
KK) substituted alkenylene as defined in FF herein; and  
LL) -N=CH-;

R<sup>c</sup> is selected from the group consisting of:

- MM) alkyl as defined in A herein;  
NN) substituted alkyl as defined in F herein;  
OO) alkenyl as defined in B herein;  
PP) substituted alkenyl as defined in G herein;  
QQ) aryl as defined in F22 herein;  
RR) heteroaryl as defined in F23 herein;

- SS) heterocyclic as defined in F34 herein;  
TT) cycloalkyl as defined in D herein; and  
UU) substituted cycloalkyl as defined in I herein;

3  $p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof;

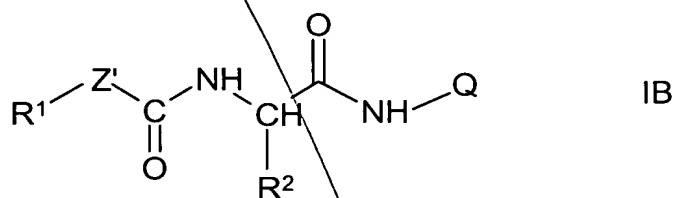
with the following provisos:

- A. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a 2-(S)-indanol group;
- B. when  $R^1$  is phenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a trans-2-hydroxy-cyclohex-1-yl group;
- C. when  $R^1$  is cyclopropyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an N-methylcaprolactam group;
- D. when  $R^1$  is 4-chlorobenzoyl- $\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;
- E. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;
- F. when  $R^1$  is  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 2,3-dihydro-1-(*t*-butyl $\text{C}(\text{O})\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- G. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ , 4- $\text{HOCH}_2$ -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or  $\text{CH}_3\text{S}-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino- $\text{CH}_2\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

H. when R<sup>1</sup> is 2,6-difluorophenyl, R<sup>2</sup> is -CH<sub>3</sub>, Z' is -CH(OH)-, and p is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH<sub>2</sub>CH<sub>2</sub>-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

C 3 I. when the ring defined by Q forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

97. (Amended) A method for treating a human patient with AD in order to inhibit further deterioration in the condition of that patient which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds from formula IB:



wherein R<sup>1</sup> is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
  - 1) alkoxy of from 1 to 10 carbon atoms;

- C24
- 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
  - 3) cycloalkyl which is as defined in D herein;
  - 4) substituted cycloalkyl is defined in I herein;
  - 5) cycloalkenyl which is defined in E herein;
  - 6) substituted cycloalkenyl which is defined in J herein;
  - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
  - 8) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
  - 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

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- 10) amino;
  - 11) aminoacyl having the formula  $\text{-NRC(O)R}$  wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
  - 12) aminoacyloxy having the formula  $\text{-NRC(O)OR}$  wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
  - 13) cyano;
  - 14) halogen;
  - 15) hydroxyl;
  - 16) carboxyl;
  - 18) carboxylalkyl having the formula  $\text{-C(O)Oalkyl}$  wherein alkyl is defined in A herein;
  - 19) thiol;
  - 20) thioalkoxy having the formula  $\text{-S-alkyl}$ , wherein alkyl is defined in A herein;
  - 21) substituted thioalkoxy having the formula  $\text{-S-substituted alkyl}$ , wherein substituted alkyl is defined in F herein;
  - 22) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
    - a) hydroxy;

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- b) acyl as defined in F7 herein;
- c) acyloxy as defined in F9 herein;
- d) alkyl as defined in A herein;
- e) substituted alkyl as defined in F herein;
- f) alkoxy as defined in F1 herein;
- g) substituted alkoxy as defined in F2 herein;
- h) alkenyl as defined in B herein;
- i) substituted alkenyl as defined in G herein;
- j) alkynyl as defined in C herein;
- k) substituted alkynyl as defined in H herein;
- l) amino;
- m) aminoacyl as defined in F11 herein;
- n) acylamino as defined in F8 herein;
- o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
- p) aryl as defined in F22 herein;
- q) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
- r) azido;
- s) carboxyl;
- t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- u) cyano;
- v) halo selected from fluoro, chloro, bromo and iodo;
- w) nitro;
- x) heteroaryl as defined in F23 herein;
- y) heterocyclic as defined in F24 herein;



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- z) aminoacyloxy as defined in F12 herein;
- aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein;
- ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F23 herein;
- ff) -SO-alkyl wherein alkyl is defined in A herein;
- gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- hh) -SO-aryl wherein aryl is defined in F22 herein;
- ii) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
- jj) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
- kk) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
- ll) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
- mm) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
- nn) trihalomethyl wherein halo is defined in I20 herein;

- c3
- oo) mono- and dialkylamino wherein alkyl is defined in A herein;
  - pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - qq) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
  - tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 23) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F22 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F23 herein;

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- j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
  - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
  - n) trihalomethyl wherein halo is defined in I20 herein;
- 24) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
  - b) substituted alkyl as defined in F herein;
  - c) alkoxy as defined in F1 herein;
  - d) substituted alkoxy as defined in F2 herein;
  - e) aryl as defined in F22 herein;
  - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - g) halo selected from fluoro, chloro, bromo and iodo;
  - h) nitro;
  - i) heteroaryl as defined in F23 herein;
  - j) thiol;
  - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;

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- l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
  - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
  - n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 25) aryloxy of the formula -O-aryl wherein aryl is defined in F22 herein;
  - 26) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 27) hydroxyamino;
  - 28) alkoxyamino wherein alkoxy is defined in F1 herein;
  - 29) nitro;
  - 30) -SO-alkyl wherein alkyl is defined in A herein;
  - 31) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 32) -SO-aryl wherein aryl is defined in F22 herein;
  - 33) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 34) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 35) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 36) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - 37) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 38) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 39) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 40) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - 41) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;

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- 42) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
  - 43) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F18 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F20 herein;
  - 16) substituted thioalkoxy as defined in F21 herein;
  - 17) aryl as defined in F22 herein;

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- 18) heteroaryl as defined in F23 herein;
  - 19) heterocyclic as defined in F24 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F22 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- H) substituted alkynyl of from 1 to 3 substituents selected from:

- 23
- 1) alkoxy as defined in F1 herein;
  - 2) substituted alkoxy as defined in F2 herein;
  - 3) acyl as defined in F7 herein;
  - 4) acylamino as defined in F8 herein;
  - 5) acyloxy as defined in F9 herein;
  - 6) amino;
  - 7) aminoacyl as defined in F11 herein;
  - 8) aminoacyloxy as defined in F12 herein;
  - 9) cyano;
  - 10) halogen selected from fluoro, chloro, bromo and iodo;
  - 11) hydroxyl;
  - 12) carboxyl;
  - 13) carboxylalkyl as defined in F18 herein;
  - 14) thiol;
  - 15) thioalkoxy as defined in F20 herein;
  - 16) substituted thioalkoxy as defined in F21 herein;
  - 17) aryl as defined in F22 herein;
  - 18) heteroaryl as defined in F23 herein;
  - 19) heterocyclic as defined in F24 herein;
  - 20) nitro;
  - 21) -SO-alkyl wherein alkyl is defined in A herein;
  - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
  - 23) -SO-aryl wherein aryl is defined in F22 herein;
  - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 25) -SO<sub>2</sub>-alkyl wherein alkyl is defined in A herein;
  - 26) -SO<sub>2</sub>-substituted alkyl wherein substituted alkyl is defined in F herein;

C3  
cont

- 27) -SO<sub>2</sub>-aryl wherein aryl is defined in F22 herein;
  - 28) -SO<sub>2</sub>-heteroaryl wherein heteroaryl is defined in F23 herein;
  - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
  - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
  - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
  - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
  - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
  - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;
  - 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;



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Cont

- 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;
  - 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
  - 15) aryl as defined in F22 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F23 herein;
  - 23) thioalkoxy as defined in F20 herein;
  - 24) substituted thioalkoxy as defined in F21 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
  - 2) acyl as defined in F7 herein;
  - 3) acyloxy as defined in F9 herein;
  - 4) alkyl as defined in A herein;
  - 5) substituted alkyl as defined in F herein;

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cont

- 6) alkoxy as defined in F1 herein;
  - 7) substituted alkoxy as defined in F2 herein;
  - 8) alkenyl as defined in B herein;
  - 9) substituted alkenyl as defined in G herein;
  - 10) alkynyl as defined in C herein;
  - 11) substituted alkynyl as defined in H herein;
  - 12) amino;
  - 13) aminoacyl as defined in F11 herein;
  - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
  - 15) aryl as defined in F22 herein;
  - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
  - 17) carboxyl;
  - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
  - 19) cyano;
  - 20) halo selected from fluoro, chloro, bromo and iodo;
  - 21) nitro;
  - 22) heteroaryl as defined in F23 herein;
  - 23) thioalkoxy as defined in F20 herein;
  - 24) substituted thioalkoxy as defined in F21 herein; and
  - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F22 herein;
- L) heteroaryl as defined in F23 herein; and
- M) heterocyclic as defined in F24 herein;

Z' is represented by the formula -CX'X"-, -T-CH<sub>2</sub>- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR<sup>5</sup> where R<sup>5</sup> is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- 93* P) alkyl as defined in A herein;
- ent* Q) aryl as defined in F22 herein; or
- R) heteroaryl as defined in F23 herein;

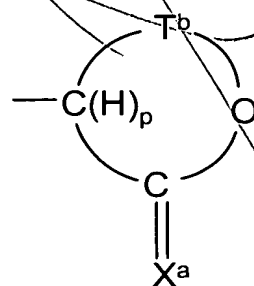
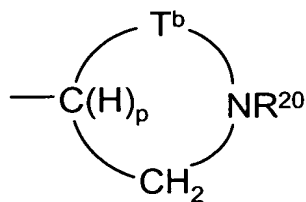
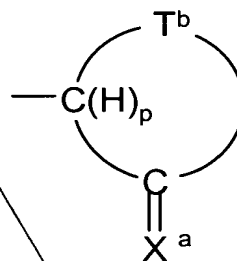
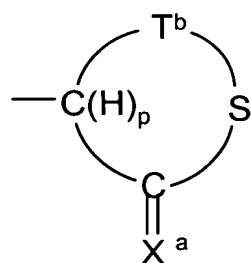
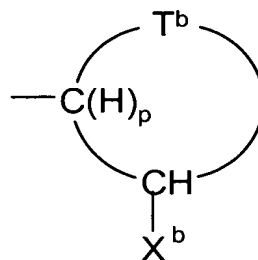
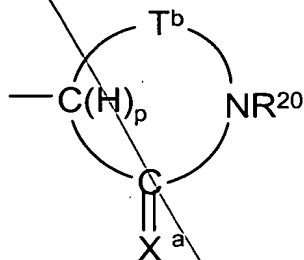
X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

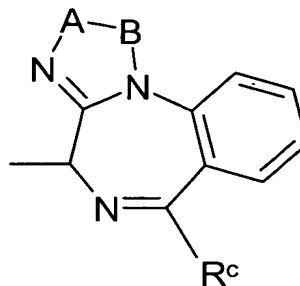
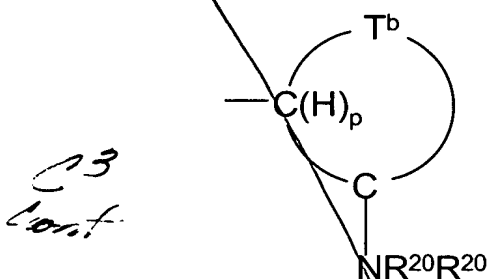
R<sup>2</sup> is selected from the group consisting of:

- S) alkyl as defined in A herein;
- T) substituted alkyl as defined in F herein;
- U) alkenyl as defined in B herein;
- V) substituted alkenyl as defined in G herein;
- W) alkynyl as defined in C herein;
- X) substituted alkynyl as defined in H herein;
- Y) cycloalkyl as defined in D herein;
- Z) aryl as defined in F22 herein;
- AA) heteroaryl as defined in F23 herein;
- BB) heterocyclic as defined in F24 herein;
- BB<sup>1</sup>) 2-aminopyrid-6-yl;
- BB<sup>2</sup>) 2-methylcyclopentyl;
- BB<sup>3</sup>) cyclohex-2-enyl; and
- BB<sup>4</sup>) -(CH<sub>2</sub>)<sub>4</sub>NHC(O)OC(CH<sub>3</sub>)<sub>3</sub>;

Q is selected from the group of monocyclic and fused polycyclic groups having the formulas:

*CB*  
*cont*





wherein  $T^b$  is selected from the group consisting of:

- CC) alkylene where alkylene is a divalent alkyl and alkyl is defined in A herein;
- DD) substituted alkylene where substituted alkylene is a divalent substituted alkyl and substituted alkyl is defined in F herein;
- EE) alkenylene where alkenylene is a divalent alkenyl and alkenyl is defined in B herein;
- FF) substituted alkenylene where substituted alkenylene is a divalent substituted alkenyl and substituted alkenyl is defined in G herein;
- GG)  $-(R^{21}Z^a)_qR^{21}-$  and  $-Z^aR^{21}-$  where  $Z^a$  is a substituent selected from the group consisting of:
  - 1)  $-O-$ ;
  - 2)  $-S-$ ; and
  - 3)  $>NR^{20}$ , each  $R^{20}$  is independently selected from the group consisting of:
    - a) alkyl as defined in A herein;
    - b) alkenyl as defined in B herein;
    - c) alkynyl as defined in C herein;
    - d) cycloalkyl as defined D herein;
    - e) cycloalkenyl as defined in E herein;
    - f) substituted alkyl as defined in F herein;
    - g) substituted alkenyl as defined in G herein;

C3  
Cont

- h) substituted alkynyl as defined in H herein;
- i) aryl as defined in F22 herein;
- j) heteroaryl as defined in F23 herein; and
- k) heterocyclic as defined in F24 herein;

wherein each  $R^{21}$  is independently selected from the group consisting of:

- 4) alkylene as defined in CC herein;
- 5) substituted alkylene as defined in DD herein;
- 6) alkenylene as defined in EE herein; and
- 7) substituted alkenylene as defined in FF herein;

with the proviso that when  $Z^a$  is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-,  $q$  is an integer of from 1 to 3;

$X^a$  is oxo or thioxo;  $X^b$  is -OH or -SH;

A-B is selected from a group of:

- HH) alkylene as defined in CC herein;
- II) alkenylene as defined in DD herein;
- JJ) substituted alkylene as defined in EE herein;
- KK) substituted alkenylene as defined in FF herein; and
- LL) -N=CH-;

$R^c$  is selected from the group consisting of:

- MM) alkyl as defined in A herein;
- NN) substituted alkyl as defined in F herein;
- OO) alkenyl as defined in B herein;
- PP) substituted alkenyl as defined in G herein;
- QQ) aryl as defined in F22 herein;
- RR) heteroaryl as defined in F23 herein;

SS) heterocyclic as defined in F34 herein;

TT) cycloalkyl as defined in D herein; and

UU) substituted cycloalkyl as defined in I herein;

*Ex 3*  
*Cont*  $p$  is an integer equal to 0 or 1 such that when  $p$  is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when  $p$  is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof;

with the following provisos:

A. when  $R^1$  is 3,5-difluorophenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a 2-(S)-indanol group;

B. when  $R^1$  is phenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a trans-2-hydroxy-cyclohex-1-yl group;

C. when  $R^1$  is cyclopropyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an N-methylcaprolactam group;

D. when  $R^1$  is 4-chlorobenzoyl- $\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

E. when  $R^1$  is 2-phenylphenyl,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

F. when  $R^1$  is  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form an 2,3-dihydro-1-(*t*-butyl $\text{C}(\text{O})\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

G. when  $R^1$  is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl,  $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$ , 4- $\text{HOCH}_2$ -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or  $\text{CH}_3\text{S}-$ ,  $R^2$  is  $-\text{CH}_3$ ,  $Z'$  is  $-\text{CH}_2-$ , and  $p$  is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino- $\text{CH}_2\text{CH}_2-$ )-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;